Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	388	(548/241).CCLS.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2005/12/13 09:38
S2	10	(("20050027126") or ("20040138471") or ("20040049053") or ("6936720") or ("20030144527") or ("6841683") or ("6677458")).PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2005/12/13 09:39
S3	2	("4172896").PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2005/12/13 09:39
S5	1	("53077057").PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2005/12/13 09:39
S6	2	(("54163823") or ("54163570")).PN.	US-PGPUB; USPAT; EPO; DERWENT	OR	OFF	2005/12/13 09:39

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 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09   ACD predicted properties enhanced in REGISTRY/ZREGISTRY
 NEWS  4  OCT 03   MATHDI removed from STN
 NEWS  5  OCT 04   CA/CAplus-Canadian Intellectual Property Office (CIPO) added
                   to core patent offices
 NEWS  6  OCT 13   New CAS Information Use Policies Effective October 17, 2005
 NEWS  7  OCT 17   STN(R) AnaVist(TM), Version 1.01, allows the export/download
                   of CAplus documents for use in third-party analysis and
                   visualization tools
 NEWS  8  OCT 27   Free KWIC format extended in full-text databases
 NEWS  9  OCT 27   DIOGENES content streamlined
 NEWS 10  OCT 27   EPFULL enhanced with additional content
 NEWS 11  NOV 14   CA/CAplus - Expanded coverage of German academic research
 NEWS 12  NOV 30   REGISTRY/ZREGISTRY on STN(R) enhanced with experimental
                   spectral property data
 NEWS 13  DEC 05   CASREACT(R) - Over 10 million reactions available

 NEWS EXPRESS  DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
               V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
               http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 12:48:19 ON 13 DEC 2005

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.84       0.84

FILE 'REGISTRY' ENTERED AT 12:50:24 ON 13 DEC 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 DEC 2005 HIGHEST RN 869770-56-9
DICTIONARY FILE UPDATES: 12 DEC 2005 HIGHEST RN 869770-56-9

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* *
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* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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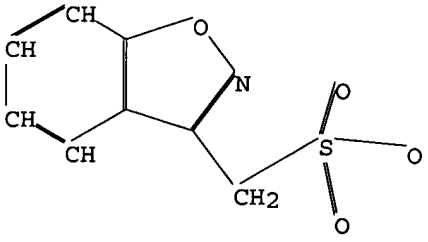
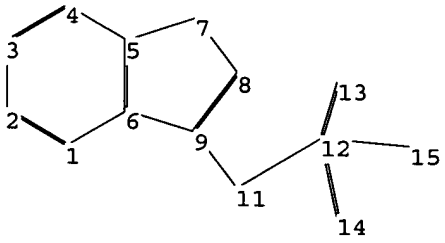
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10662986.str





chain nodes :
11 12 13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
9-11 11-12 12-13 12-14 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
8-9 12-13 12-14 12-15
exact bonds :
5-7 6-9 7-8 9-11 11-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
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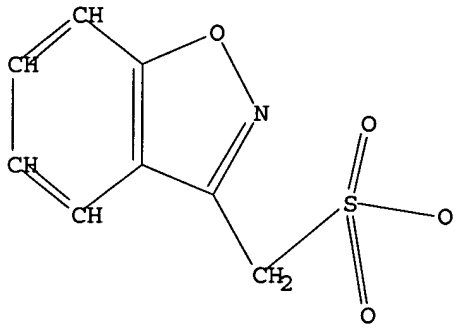

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 12:51:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 143 TO ITERATE

100.0% PROCESSED 143 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

L3 12 SEA SSS FUL L1

=> s l3 and caplus/lc
49159175 CAPLUS/LC
L4 12 L3 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	165.93	166.77

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FILE COVERS 1907 - 13 Dec 2005 VOL 143 ISS 25
FILE LAST UPDATED: 12 Dec 2005 (20051212/ED)

=> s l4
L5 14 L4

=> d ibib abs hitstr 1-14

L5 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1050940 CAPLUS
DOCUMENT NUMBER: 143:326350
TITLE: One-pot process for the preparation of 1,2-benzisoxazole-3-methanesulfonamide from 4-hydroxycoumarin
INVENTOR(S): Ueno, Yoshikazu; Ishikura, Tsutomu
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

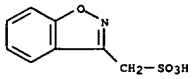
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215796	A1	20050929	US 2005-88802	20050325
WO 2005092869	A1	20051006	WO 2005-JP5349	20050324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-556073P P 20040325

AB 1,2-Benzisoxazole-3-methanesulfonamide was prepared by reaction of 4-hydroxycoumarin and NH2OH (salt) in H2O to give a mixture, acidification of the mixture and addition of ClCH2CH2Cl, removal of the aqueous layer to give a mixture containing 1,2-benzisoxazole-3-acetic acid and ClCH2CH2Cl, further removal of H2O by distillation, addition of ClSO3H, addition of base to give an alkali metal salt of 1,2-benzisoxazole-3-methanesulfonic acid, addition of POCl3 to give 1,2-benzisoxazole-3-methanesulfonyl chloride, and addition of NH3.

IT **342623-49-8DP**, 1,2-Benzisoxazole-3-methanesulfonic acid, alkali metal salt
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzisoxazolemethanesulfonamide from hydroxycoumarin)
RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)



L5 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:429406 CAPLUS
DOCUMENT NUMBER: 142:482033
TITLE: A process for the manufacture of zonisamide, useful as anticonvulsant agent
INVENTOR(S): Jaweed Mukarram, Siddiqui Mohammed; Merwade, Aravind Yehanathsa; Shukla, Jagdish Dattopant; Saiyad, Anis Mushtaqeali
PATENT ASSIGNEE(S): Wockhardt Limited, India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044808	A1	20050519	WO 2003-IB5052	20031111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2003-IB5052 20031111

OTHER SOURCE(S): CASREACT 142:482033
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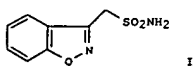


I

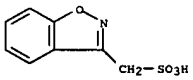
AB The invention relates to an improved process for the preparation of zonisamide (I), a well known anticonvulsant. Other aspects of this invention are isolation of a key intermediate, viz., isolation of crystalline sodium chloride associated with 1,2-benzisoxazole-3-methane sodium sulfonate (BOS-Na:NaCl). Zonisamide (I, 99% HPLC purity) was prepared via ring opening/cyclization of 4-hydroxycoumarin in the presence of NH2OH (step 1), sulfonation of the obtained 1,2-benzisoxazole-3-acetic acid, and chlorination/amidation of the obtained sodium 1,2-benzisoxazole-3-methanesulfonate associated with NaCl (yield of step 1 was 95-98%). The anal. characteristics like IR and XRD data of BOS-Na:NaCl were also reported to confirm its nature.

IT **851961-40-5P**
RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); PREP

L5 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Preparation); RACT (Reactant or reagent)
(process for the manuf. of zonisamide useful as anticonvulsant agent)
RN 851961-40-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt, compd. with sodium chloride (NaCl) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 342623-49-8
CMF C8 H7 N O4 S



CM 2

CRN 7647-14-5
CMF Cl Na

Cl—Na

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:300420 CAPLUS
DOCUMENT NUMBER: 142:373849
TITLE: An improved process for preparation of isoxazole and oxathiane derivatives, useful as intermediates for synthesis of zonisamide
INVENTOR(S): Veera Reddy, Arya; Rajendiran, Chinnapillai; Vaishali, Nadkarni; Jasti, Venkat
PATENT ASSIGNEE(S): Suven Life Sciences Limited, India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030738	A1	20050407	WO 2003-IN325	20030929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			WO 2003-IN325	20030929

OTHER SOURCE(S): CASREACT 142:373849; MARPAT 142:373849
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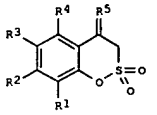
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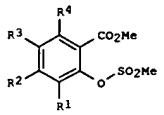
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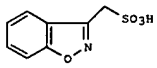
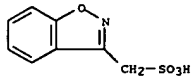

IV

AB The invention relates to an improved process for preparation of benzisoxazole

L5 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
and oxathiane derivs., e.g. I [wherein: R1, R2, R3, and R4 are independently selected from H, alkyl, chloro, bromo, NO2, or NMe2, etc.; R5 is N(OH)], useful for the prepn. of zonisamide. The compds. of the formula I were prepd. by intramol. cyclocondensation of the compd. of the formula II and subsequent imination of the obtained ketone I (R5 = O) by NH2OH. For instance, III [I, R1 = R2 = R3 = R4 = H, R5 = N(OH)] was prepd. via cyclocondensation of II (R1 = R2 = R3 = R4 = H) and subsequent imination of I (R1 = R2 = R3 = R4 = H, R5 = O) by NH2OH•HCl (yields: cyclization - 76%, imination - 93%). Benzisoxazole deriv. IV•Na was prepd. via ring-opening/cyclization of III with a purity of 93.26%.
IT 73101-64-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved process for preparation of isoxazole and oxathiane derivs. useful for the preparation of zonisamide)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:606452 CAPLUS
DOCUMENT NUMBER: 141:140420
TITLE: A process for the preparation of benzo[d]isoxazol-3-yl-methanesulfonic acid
INVENTOR(S): Razzetti, Gabriele; Mantegazza, Simone; Castaldi, Graziano; Allegrini, Pietro; Lucchini, Vittorio; Bologna, Alberto
PATENT ASSIGNEE(S): Dinamite Dipharma S.P.A., Italy
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

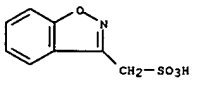
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063173	A1	20040729	WO 2003-EP314919	20031224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2512791	AA	20040729	CA 2003-2512791	20031224
EP 1581508	A1	20051005	EP 2003-795972	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			IT 2003-MI26	A 20030110
			IT 2003-MI1383	A 20030704
			WO 2003-EP14919	W 20031224

OTHER SOURCE(S): CASREACT 141:140420
AB The title compound (I) or its salt, useful as an intermediate in the preparation of anticonvulsant zonisamide, is prepared by reaction of 1,2-benzoxathin-4(3H)-one 2,2-dioxide oxime (II) with organic base or alkali or alkaline earth hydroxide. Thus, reaction of II with aq NaOH at room temperature for 3 h gave 70% sodium salt of I.
IT 726188-85-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1,2-benzisoxazole-3-methanesulfonic acid or its salt as intermediate for zonisamide)
RN 726188-85-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

L5 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

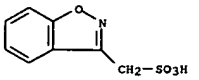
CRN 342623-49-8
CMF C8 H7 N O4 S



CM 2

CRN 121-44-8
CMF C6 H15 N

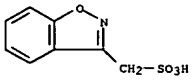
IT 73101-64-1P 726188-84-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,2-benzisoxazole-3-methanesulfonic acid or its salt as intermediate for zonisamide)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 726188-84-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, lithium salt (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



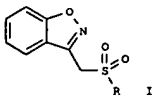
● Li

L5 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:696874 CAPLUS
DOCUMENT NUMBER: 139:230763
TITLE: Method for preparing 1,2-benzisoxazole-3-methanesulfonyl chloride using thionyl chloride, and its amidation to form zonisamide
INVENTOR(S): Mendelovici, Marioara; Gershon, Neomi; Nidam, Tamar; Pilarski, Gideon; Sterinbaum, Greta
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072552	A1	20030904	WO 2003-US5690	20030224
WO 2003072552	C1	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475598	AA	20030904	CA 2003-2475598	20030224
US 2004014983	A1	20040122	US 2003-373554	20030224
US 6936720	B2	20050830		
EP 1472236	A1	20041103	EP 2003-716172	20030224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005526049	T2	20050902	JP 2003-571258	20030224
NO 2004003972	A	20040922	NO 2004-3972	20040922
PRIORITY APPLN. INFO.:			US 2002-358916P P	20020222
			WO 2003-US5690 W	20030224

OTHER SOURCE(S): CASREACT 139:230763; MARPAT 139:230763
GI



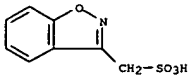
AB The invention relates to a process of preparing 1,2-benzisoxazole-3-methanesulfonic acid chloride (I; R = Cl) (II). This compound is useful as

L5 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

an intermediate for prepn. of the antiepileptic agent zonisamide (I; R = NH2) (III). II is prepd. via chlorination of the acid I (R = OH), or its salts or esters, using thionyl chloride (SOCl2). III is prepd. by amidation of II using NH3 in either aq., anhyd., or masked forms. More specifically, the invention provides a process of prepg. III, comprising the steps of : (1) chlorinating I (R = OH) or its salts or esters with SOCl2 in an org. solvent and/or in the presence of a catalyst to form II; and (2) amidating II in the presence of ammonia, the latter selected from the group consisting of (i) aq. ammonia in a biphasic system, (ii) masked ammonia, and (iii) dry ammonia, to form III. Use of SOCl2 to form the acid chloride avoids the use of POCl3, which is substantially more hazardous in the workplace. For instance, 4 equiv SOCl2 was added dropwise over 3 h to a mixt. of 1 equiv I (R = OH) Na salt in PhMe contg. 0.1 equiv DMF catalyst at 50-60°, followed by stirring at 50° for 4-5 h. Excess SOCl2 was removed by flowing N2, fresh PhMe was added, and inorg. salts were filtered to give a soln. of II in PhMe. This soln. was cooled to 10-15° and anhyd. NH3(g) was bubbled through the mixt. at that temp. until the reaction was complete. by HPLC. Filtration of inorg. salts, trituration with H2O at room temp., filtration, and washing with 95% EtOH gave crude III in 91.25% yield, contg. only 2.5% I.NH3 (R = OH) (IV) as an impurity. Recrystn. from refluxing 95% with active C treatment, filtration, and slow cooling, gave III in 90.8% yield with only 0.02% IV.

IT **73101-64-1**, 1,2-Benzisoxazole-3-methanesulfonic acid sodium salt
81534-20-5, Ammonium 1,2-benzisoxazole-3-methanesulfonate
342623-49-8, 1,2-Benzisoxazole-3-methanesulfonic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of benzisoxazolemethanesulfonyl chloride
using thionyl chloride, and its amidation to form zonisamide)

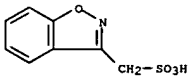
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

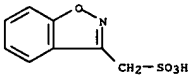
RN 81534-20-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, ammonium salt (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● NH_3

RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:590879 CAPLUS
DOCUMENT NUMBER: 139:154994
TITLE: Novel sulfonation method for zonisamide intermediate in zonisamide synthesis and their novel crystal forms
INVENTOR(S): Nidam, Tamar; Mendelovici, Marioara; Schwartz, Edward; Wizel, Shlomit
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 233,190.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

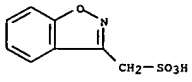
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144527	A1	20030731	US 2002-288135	20021105
US 2003114682	A1	20030619	US 2002-233190	20020829
US 6841683	B2	20050111		
WO 2004020419	A1	20040311	WO 2002-US35537	20021105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004138471	A1	20040715	US 2003-662966	20030915
US 2004138472	A1	20040715	US 2003-662986	20030915
US 2005027126	A1	20050203	US 2004-928313	20040830

PRIORITY APPLN. INFO.: US 2001-316109P P 20010830
US 2001-344439P P 20011024
US 2002-233190 A2 20020829

AB The present invention relates to a novel sulfonation of an intermediate of zonisamide. The sulfonation processes using chlorosulfonic acid as well as acetic anhydride and sulfuric acid in an organic solvent are disclosed.
Crystalline forms of benzisoxazole methanesulfonic acid (BOS-H) and its salts (BOS-Na, BOS-Ca, and BOS-Ba) and their novel preparation processes are disclosed.

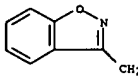
IT **73101-64-1P 342623-49-8P, 1,2-Benzisoxazole-3-methanesulfonic acid 457635-27-7P 457635-28-8P 501019-17-6P 501019-18-7P 569638-21-7P 569638-22-8P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzisoxazole acetic acid sulfonation and intermediates crystal forms in zonisamide synthesis)

RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)

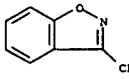


● Na

RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)

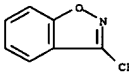


RN 457635-27-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, calcium salt (9CI) (CA INDEX NAME)



●1/2 Ca

RN 457635-28-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, barium salt (9CI) (CA INDEX NAME)



●1/2 Ba

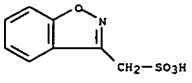
L5 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 501019-17-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt, monohydrate (9CI) (CA INDEX NAME)

● Na

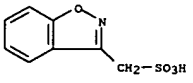
● H_2O

RN 501019-18-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, monohydrate (9CI) (CA INDEX NAME)



● H_2O

RN 569638-21-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, barium salt, dihydrate (9CI) (CA INDEX NAME)

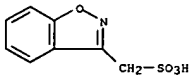


●1/2 Ba

● H_2O

L5 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569638-22-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, calcium salt, tetrahydrate (9CI) (CA INDEX NAME)



●1/2 Ca

●2 H_2O

L5 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:202630 CAPLUS
DOCUMENT NUMBER: 138:221579
TITLE: Process for the preparation of 1,2-benzisoxazole-3-methanesulfonic acid and its salts, intermediates in the synthesis of Zonisamide
INVENTOR(S): Nidam, Tamar; Mendelovici, Marioara; Schwartz, Eduard; Wizel, Shlomit
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020708	A1	20030313	WO 2002-US27593	20020829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2458905	AA	20030313	CA 2002-2458905	20020829
EP 1430037	A1	20040623	EP 2002-768748	20020829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005506980	T2	20050310	JP 2003-524979	20020829

PRIORITY APPLN. INFO.: US 2001-316109P P 20010830
US 2001-344439P P 20011024
WO 2002-US27593 W 20020829

OTHER SOURCE(S): CASREACT 138:221579
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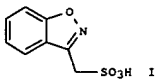
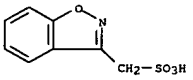


I

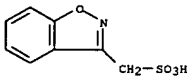
AB A process for the preparation of 1,2-benzisoxazole-3-methanesulfonic acid (I) by sulfonation of 1,2-benzisoxazole-3-acetic acid with chlorosulfonic acid

L5 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



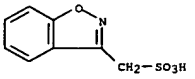
● 1/2 Ca

RN 457635-28-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, barium salt (9CI) (CA INDEX NAME)



● 1/2 Ba

RN 501019-17-6 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt, monohydrate (9CI) (CA INDEX NAME)



● Na

● H2O

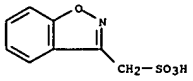
RN 501019-18-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, monohydrate (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

or acyl sulfates in an org. solvent and optional conversion to its salts is disclosed. I has com. importance as a key intermediate in the prepn. of Zonisamide. For example, a soln. of 1,2-benzisoxazole-3-acetic acid (20 gm), 98% H2SO4 (22 gm), and Ac2O (23 gm) in AcOEt (80 mL) was heated at reflux for 4 h and the cooled reaction mixt. treated with aq. 10% aq. NaOH (120 mL) to give I•Na (20.33 gm) in 100% purity. Advantages of the present invention are: (1) the prepn. of I without the use of dioxane, improving the environmental safety of the reaction; and (2) the increased selectivity for prepn. of the monosulfonated over the bisulfonated benzisoxazole. Cryst. forms of 1,2-benzisoxazole-3-methanesulfonic acid (BOS-H) and its salts (BOS-Na, BOS-Ca, and BOS-Ba) were also characterized.

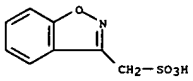
IT 73101-64-1P, 1,2-Benzisoxazole-3-methanesulfonic acid sodium salt
342623-49-8P, 1,2-Benzisoxazole-3-methanesulfonic acid
457635-27-7P, 1,2-Benzisoxazole-3-methanesulfonic acid calcium salt 457635-28-8P, 1,2-Benzisoxazole-3-methanesulfonic acid barium salt 501019-17-6P 501019-18-7P
RL: IMF (Industrial manufacture); PRP (Properties); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(target intermediate; preparation of benzisoxazolemethanesulfonic acid and salts, intermediates in the synthesis of Zonisamide, by sulfonation of benzisoxazoleacetic acid)

RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



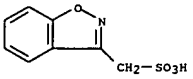
● Na

RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)



RN 457635-27-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, calcium salt (9CI) (CA INDEX NAME)

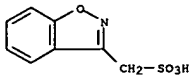
L5 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● H2O

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:835617 CAPLUS
DOCUMENT NUMBER: 139:36455
TITLE: Product class 10: 1,2-benzisoxazoles and related compounds
AUTHOR(S): Smalley, R. K.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2002), 11, 289-335
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review presents various methods of ring-closure reaction and substituent modification for the synthesis of 1,2-benzisoxazoles and related compds.
IT **342623-49-8P**, 1,2-Benzisoxazole-3-methanesulfonic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of benzisoxazoles via ring-closure reactions, ring transformations, aromatization and substituent modification)
RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)



REFERENCE COUNT: 223 THERE ARE 223 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:695963 CAPLUS
DOCUMENT NUMBER: 137:216942
TITLE: Process for the preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in the synthesis of zonisamide
INVENTOR(S): Mendelovici, Mariorara; Nidam, Tamar
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070495	A1	20020912	WO 2002-US6419	20020304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440030	AA	20020912	CA 2002-2440030	20020304
US 2002183525	A1	20021205	US 2002-90710	20020304
US 6677458	B2	20040113		
EP 1373229	A1	20040102	EP 2002-717527	20020304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004049053	A1	20040311	US 2003-661109	20030912
PRIORITY APPLN. INFO.:			US 2001-273172P	P 20010302
			US 2001-294847P	P 20010531
			US 2002-90710	A3 20020304
			WO 2002-US6419	W 20020304

OTHER SOURCE(S): CASREACT 137:216942
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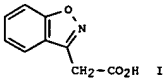
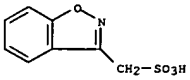


I

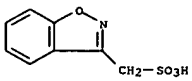
AB A process for the prepareation of 1,2-benzisoxazole-3-acetic acid (I) from 4-hydroxycoumarin and hydroxylamine.HCl in the presence of a base is disclosed. Compound I has com. importance as a key intermediate in the

L5 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
prepn. of Zonisamide. For example, a soln. of 4-hydroxycoumarin (100 g), hydroxylamine hydrochloride (150 g) and diethylamine (160 g) in MeOH (500 mL) was heated at reflux for 1 h. The reaction mixt. was evapd. to dryness and the solid dissolved in aq. NaHCO3 and extd. with ether. After acidification of the aq. phase, the product was isolated by filtration, washed with water and dried to provide I (99.82 g) in 93 % wt./wt. yield. Avantages of the present invention are: (1) the prep. of I without the use of metallic sodium; and (2) the minimization of reaction side-products, e.g., oxime. The process is thus substantially less hazardous than previous methods. The invention also claims the prep. I or salts of which are converted to 1,2-benzisoxazole-3-methanesulfonamide, i.e., zonisamide.
IT **73101-64-1P**, 1,2-Benzisoxazole-3-methanesulfonic acid sodium salt **342623-49-8P**, 1,2-Benzisoxazole-3-methanesulfonic acid **457635-27-7P 457635-28-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(product; process for preparation of 1,2-benzisoxazole-3-acetic acid, an intermediate in synthesis of zonisamide)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



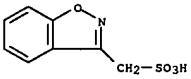
● Na

RN 342623-49-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid (9CI) (CA INDEX NAME)



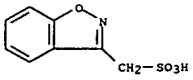
RN 457635-27-7 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, calcium salt (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●1/2 Ca

RN 457635-28-8 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, barium salt (9CI) (CA INDEX NAME)

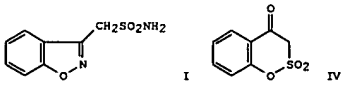


●1/2 Ba

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1982:181246 CAPLUS
DOCUMENT NUMBER: 96:181246
TITLE: Studies on 3-substituted 1,2-benzisoxazole derivatives. VII. Catalytic reduction of 3-sulfamoylmethyl-1,2-benzisoxazole and reactions of the resulting products
AUTHOR(S): Uno, Hitoshi; Kurokawa, Mikio
CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(1), 333-5
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:181246
GI

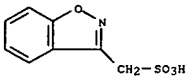


I IV

AB Hydrogenation of 3-sulfamoylmethyl-1,2-benzisoxazole (I) gave 30% 2-HOC6H4C(:Z)CH2SO2NH2 (II; Z = O)(III) and 39% II (Z = NH). Treatment of III with acid gave 98% benzoxathiinone dioxide (IV). II (Z = NOH) was recyclized to give 1,2-benzisoxazole derivs. by treatment with acid or base. On pyrolysis III gave benzoxazole derivs.

IT **73101-64-1P 81534-20-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

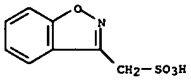
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 81534-20-5 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, ammonium salt (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● NH_3

L5 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:453966 CAPLUS
DOCUMENT NUMBER: 93:53966
TITLE: 3-(Sulfamoylmethyl)-1,2-benzisoxazole as an anticonvulsant
INVENTOR(S): Uno, Jun; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54163823	A2	19791226	JP 1978-71377	19780612
JP 61059288	B4	19861216		
PRIORITY APPLN. INFO.:			JP 1978-71377 A	19780612

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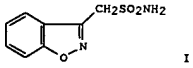
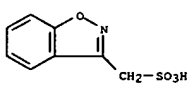


I

AB Anticonvulsants contained 3-(sulfamoylmethyl)-1,2-benzisoxazole (I) [68291-97-4] or its alkali salts as major components. Thus, a tablet composition contained I 100, lactose 35, starch 17, crystalline cellulose 40, poly(vinylpyrrolidone) 6, silicic anhydride 1, and Mg stearate 1 g, which showed ED50 of 11.9 mg/kg against maximum elec. shock in rats, vs. 18.0 mg/kg for diphenylhydantoin (II) and carbamazepine (III). The LD50 for I, II, and III were 1829, 363, and 1700 mg/kg p.o. resp.

IT **73101-64-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphoryl chloride)

RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

L5 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L5 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:408158 CAPLUS
DOCUMENT NUMBER: 93:8158
TITLE: Heterocyclic methanesulfonamide derivatives with anticonvulsive action
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Fr. Demande, 23 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2428033	A1	19800104	FR 1978-17345	19780609
FR 2428033	B1	19801121		
PRIORITY APPLN. INFO.:			FR 1978-17345 A	19780609

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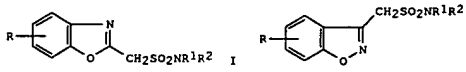
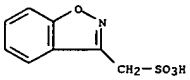


AB 2-Benzoxazolemethanesulfonamides and benzisoxazole isomers I and II [R = H, halo; R1 and R2 (same or different) are H or alkyl], which were prepared
from the bromoethyl analogs, showed anticonvulsant and antispasmodic activity. 3-(Bromomethyl)benzisoxazole reacted with Na2SO3, the Na methanesulfonate analog obtained was converted to the acid chloride, and the product was treated with NH3 to give II (R = R1 = R2 = H).
IT 73101-64-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphoryl chloride)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

L5 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:181160 CAPLUS
DOCUMENT NUMBER: 92:181160
TITLE: Methane-sulfonamide derivatives
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4172896	A	19791030	US 1978-912857	19780605
PRIORITY APPLN. INFO.:			US 1978-912857 A	19780605

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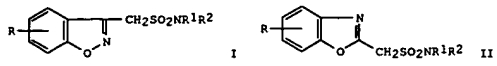
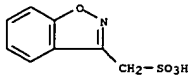


AB Benzisoxazole- and benzoxazolemethanesulfonamides I and II (R = H, halo; R1, R2 (same or different) = H, C1-3 alkyl], useful as anticonvulsants, were prepared Thus, stirring 3-(bromomethyl)-1,2-benzisoxazole in MeOH with
aqueous NaSO3 at 50° 4 h gave Na 1,2-benzisoxazole-3-methanesulfonate, which was converted to the acid chloride with POCl3 and treated with NH3 to give I (R = H). I and II had activity similar to that of diphenylhydantoin but with about twice the safety index.
IT 73101-64-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acid chloride formation from)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

L5 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:128899 CAPLUS
DOCUMENT NUMBER: 92:128899
TITLE: Sulfamoylmethylbenzisoxazoles and -benzoxazoles
INVENTOR(S): Uno, Hitoshi; Kurokawa, Mikio; Masuda, Yoshinobu
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2825410	A1	19791213	DE 1978-2825410	19780609
DE 2825410	C2	19880825		
PRIORITY APPLN. INFO.:			DE 1978-2825410 A	19780609

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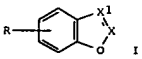
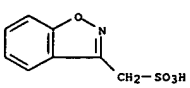


AB The title compds. I (one of X and X1 = N, the other = CCH2SO2NR1R2; R = H,
halogen; R1 and R2 = H, C1-3 alkyl) and their alkali metal salts were prepared for use as antiepileptics (test data tabulated). Thus, 3-(bromomethyl)-1,2-benzisoxazole was treated successively with aqueous Na2SO3
in MeOH and POCl3 to give I (R = H, X = N, X1 = CCH2SO2Cl), which was treated with NH3 to give I (R = H, X = N, X1 = CCH2SO2NH2).
IT 73101-64-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
RN 73101-64-1 CAPLUS
CN 1,2-Benzisoxazole-3-methanesulfonic acid, sodium salt (9CI) (CA INDEX NAME)



● Na

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          31835 ANHYDRIDES
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L6            2 L5 AND ACETIC ANHYDRIDE

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L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:590879 CAPLUS
DN 139:154994
TI Novel sulfonation method for zonisamide intermediate in zonisamide synthesis and their novel crystal forms
IN Nidam, Tamar; Mendelovici, Marioara; Schwartz, Edward; Wizel, Shlomit
PA Israel
SO U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 233,190.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003144527	A1	20030731	US 2002-288135	20021105
	US 2003114682	A1	20030619	US 2002-233190	20020829
	US 6841683	B2	20050111		
	WO 2004020419	A1	20040311	WO 2002-US35537	20021105
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004138471	A1	20040715	US 2003-662966	20030915
	US 2004138472	A1	20040715	US 2003-662986	20030915
	US 2005027126	A1	20050203	US 2004-928313	20040830
PRAI	US 2001-316109P	P	20010830		
	US 2001-344439P	P	20011024		
	US 2002-233190	A2	20020829		

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:202630 CAPLUS
DN 138:221579
TI Process for the preparation of 1,2-benzisoxazole-3-methanesulfonic acid and its salts, intermediates in the synthesis of Zonisamide
IN Nidam, Tamar; Mendelovici, Marioara; Schwartz, Eduard; Wizel, Shlomit
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

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PI	WO 2003020708	A1	20030313	WO 2002-US27593	20020829
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2458905	AA	20030313	CA 2002-2458905	20020829
	EP 1430037	A1	20040623	EP 2002-768748	20020829
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	JP 2005506980	T2	20050310	JP 2003-524979	20020829
PRAI	US 2001-316109P	P	20010830		
	US 2001-344439P	P	20011024		
	WO 2002-US27593	W	20020829		

OS CASREACT 138:221579
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    76.04     242.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   -10.22     -10.22

STN INTERNATIONAL LOGOFF AT 12:52:33 ON 13 DEC 2005
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